

Connecting via Winsock to STN at pto-stn on port 23

Welcome to STN International! Enter x:X

LOGINID:SSPTACDR1614

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* \* \* \* \*      Welcome to STN International      \* \* \* \* \* \* \* \* \*

NEWS 1 DEC 21 CAS Learning Solutions -- a new online training experience  
NEWS 2 JAN 24 The new and enhanced DPCI file on STN has been released  
NEWS 3 JAN 26 Improved Timeliness of CAS Indexing Adds Value to  
USPATFULL and USPAT2 Chemistry Patents  
NEWS 4 JAN 26 Updated MeSH vocabulary, new structured abstracts, and  
other enhancements improve searching in STN reload of  
MEDLINE  
NEWS 5 JAN 28 CABA will be updated weekly  
NEWS 6 FEB 23 PCTFULL file on STN completely reloaded  
NEWS 7 FEB 23 STN AnaVist Test Projects Now Available for  
Qualified Customers  
NEWS 8 FEB 25 LPCI will be replaced by LDPCI  
NEWS 9 MAR 07 Pricing for SELECTing Patent, Application, and Priority  
Numbers in the USPAT and IFI Database Families is Now  
Consistent with Similar Patent Databases on STN  
NEWS 10 APR 26 Expanded Swedish Patent Application Coverage in CA/CAplus  
Provides More Current and Complete Information  
NEWS 11 APR 28 The DWPI (files WPINDEX, WPIDS and WPIX) on STN have been  
enhanced with thesauri for the European Patent Classifications  
NEWS 12 MAY 02 MEDLINE Improvements Provide Fast and Simple Access to DOI and  
Chemical Name Information  
NEWS 13 MAY 12 European Patent Classification thesauri added to the INPADOC  
files, PCTFULL, GBFULL and FRFULL  
NEWS 14 MAY 23 Enhanced performance of STN biosequence searches  
NEWS 15 MAY 23 Free Trial of the Numeric Property Search Feature  
in PCTFULL on STN  
NEWS 16 JUN 20 STN on the Web Enhanced with New Patent Family Assistant and  
Updated Structure Plug-In  
NEWS 17 JUN 20 INPADOC databases enhanced with first page images  
NEWS 18 JUN 20 PATDPA database updates to end in June 2011  
NEWS 19 JUN 26 MARPAT Enhancements Save Time and Increase Usability  
NEWS 20 JUL 25 STN adds Australian patent full-text database,  
AUPATFULL, including the new numeric search feature.  
NEWS 21 AUG 01 CA Sections Added to ACS Publications Web Editions  
Platform  
NEWS 22 AUG 16 INPADOC: Coverage of German Patent Data resumed,  
enhanced legal status  
NEWS 23 AUG 18 Upgrade now to STN Express, Version 8.5  
NEWS 24 SEP 01 CAS Journal Coverage Now Includes Ahead-of-Print  
Articles for More Than 100 Journal Titles  
NEWS 25 SEP 01 Older Versions of STN Express to be Discontinued  
Beginning in March 2012  
NEWS 26 SEP 09 USAN Database Updates Offer Superior Currency on STN(R)  
NEWS 27 SEP 26 STN Adds Canadian Patent Full-text Database - CANPATFULL  
NEWS 28 SEP 26 GOREF and ENCOMPLIT databases were reloaded on  
September 24, 2011.

NEWS 29 SEP 26 Updates to the IFIPAT/IFIUDB/IFICDB databases have resumed.  
NEWS 30 SEP 26 ECLA Thesaurus in CA/CAplus Improves Patent Searching on STN  
NEWS 31 SEP 26 Access AUPATFULL and CANPATFULL databases with STN Viewer

NEWS EXPRESS 18 AUGUST 2011 CURRENT WINDOWS VERSION IS V8.5,  
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2011.

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN customer agreement. This agreement limits use to scientific research. Use for software development or design, implementation of commercial gateways, or use of CAS and STN data in the building of commercial products is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 10:28:34 ON 06 OCT 2011

FILE 'REGISTRY' ENTERED AT 10:28:58 ON 06 OCT 2011  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2011 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 5 OCT 2011 HIGHEST RN 1334472-47-7  
DICTIONARY FILE UPDATES: 5 OCT 2011 HIGHEST RN 1334472-47-7

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

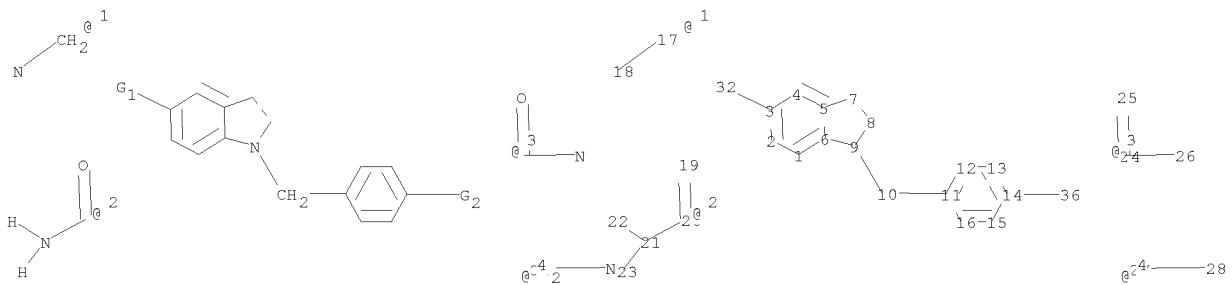
TSCA INFORMATION NOW CURRENT THROUGH June 24, 2011.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Users\cricci\Documents\STN Express 8.4\Queries\10598281FINAL1.str



chain nodes :

10 17 18 19 20 21 22 23 24 25 26 27 28 32 36

ring nodes :

1 2 3 4 5 6 7 8 9 11 12 13 14 15 16

chain bonds :

3-32 9-10 10-11 14-36 17-18 19-20 20-21 21-22 21-23 24-25 24-26 27-28

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 11-12 11-16 12-13 13-14 14-15  
15-16

exact/norm bonds :

3-32 5-7 6-9 7-8 8-9 14-36 19-20 20-21 24-25 24-26

exact bonds :

9-10 10-11 17-18 21-22 21-23 27-28

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16

G1:[@1],[@2]

G2:[@3],[@4]

Match level :

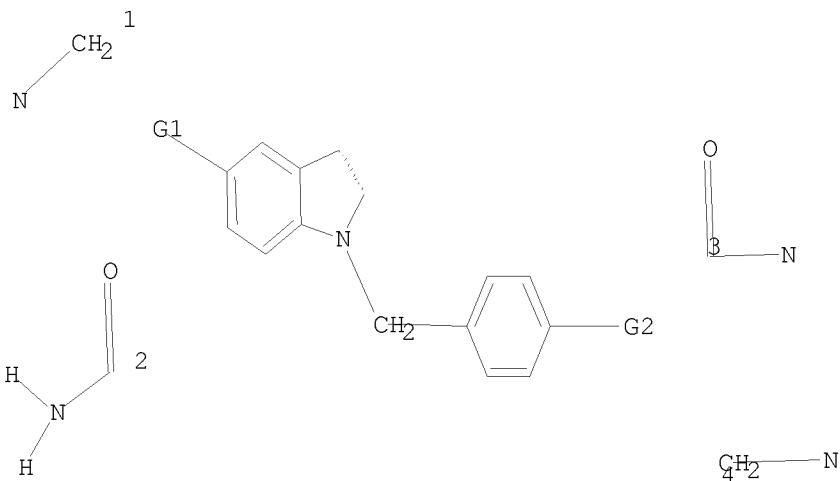
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS  
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS  
28:CLASS 32:CLASS 36:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1:[@1],[@2]

G2:[@3],[@4]

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 sss sam
SAMPLE SEARCH INITIATED 10:32:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      5770 TO ITERATE

100.0% PROCESSED      5770 ITERATIONS          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:      110845 TO     119955
PROJECTED ANSWERS:           0 TO         0
```

L2 0 SEA SSS SAM L1

```
=> s l1 sss full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 196.35 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 10:32:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     116551 TO ITERATE
```

100.0% PROCESSED 116551 ITERATIONS 23 ANSWERS
SEARCH TIME: 00.00.01

L3 23 SEA SSS FUL L1

```
=> file caplus
COST IN U.S. DOLLARS          SINCE FILE        TOTAL
                                ENTRY          SESSION
FULL ESTIMATED COST          199.41          199.64
```

FILE 'CAPLUS' ENTERED AT 10:32:35 ON 06 OCT 2011
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2011 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 6 Oct 2011 VOL 155 ISS 15

FILE LAST UPDATED: 5 Oct 2011 (20111005/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2011

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2011

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2011.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13  
L4                    2 L3

=> d ibib abs hitstr 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2011 ACS on STN  
ACCESSION NUMBER: 2005:1042216 CAPLUS  
DOCUMENT NUMBER: 143:347050  
TITLE: Preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivatives as opioid receptor antagonists for the treatment of obesity  
INVENTOR(S): Benesh, Dana Rae; Blanco-Pillado, Maria-Jesus  
PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
SOURCE: PCT Int. Appl., 52 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

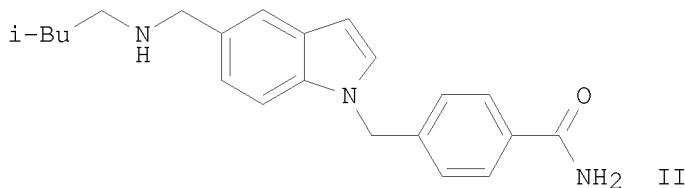
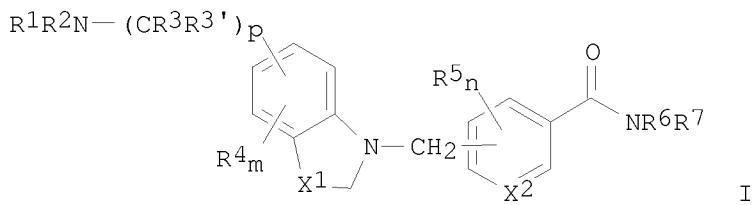
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005090303	A1	20050929	WO 2005-US7702	20050309
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2558030	A1	20050929	CA 2005-2558030	20050309

EP 1751103	A1	20070214	EP 2005-725070	20050309
EP 1751103	B1	20090114		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2007529523	T	20071025	JP 2007-503959	20050309
AT 420858	T	20090115	AT 2005-725070	20050309
ES 2318472	T3	20090501	ES 2005-725070	20050309
US 20070155793	A1	20070705	US 2006-598281	20060823
PRIORITY APPLN. INFO.:				
			US 2004-553176P	P 20040315
			WO 2005-US7702	W 20050309

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:347050; MARPAT 143:347050

GI



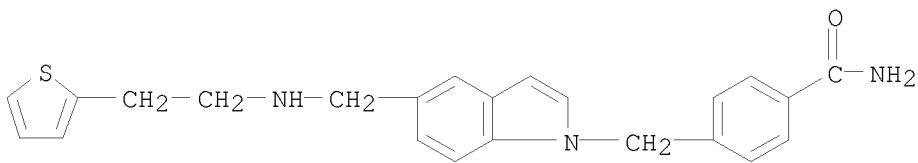
AB Title compds. represented by the formula I [wherein X1 = CH2, CH or N; X2 = CH or N; R1, R2 = independently H, alkyl(aryl), alkenyl, etc.; R3, R3' = independently H, alkyl, alkynyl, etc.; R4, R5 = independently H, (halo)alkyl, aryl, etc.; m = 0-2; n = 0-2; p = 0-2; and pharmaceutically acceptable salts, solvates, prodrugs, enantiomers, racemates, diastereomers and diastereomeric mixture thereof] were prepared as opioid receptor antagonists. For example, II was provided in a multi-step synthesis starting from the reaction of 5-formylindole with 4-bromomethylbenzonitrile. I were tested for antagonistic activity of mu-,  $\gamma$ - and  $\delta$ -opioid receptor in SPA-based GTP $\gamma$ S binding assay, and their pharmaceutical formulations were also presented. Thus, I and their pharmaceutical compns. are useful as opioid receptor antagonists for the treatment of obesity (no data).

IT 865542-83-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

RN 865542-83-2 CAPLUS

CN Benzamide, 4-[5-[[[2-(2-thienyl)ethyl]amino)methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



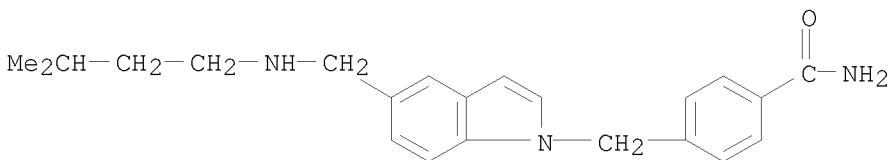
IT 865542-80-9P 865542-84-3P 865542-85-4P  
 865542-86-5P 865542-87-6P 865542-88-7P  
 865542-89-8P 865542-90-1P 865542-91-2P  
 865542-92-3P 865542-93-4P 865542-94-5P  
 865542-95-6P 865542-96-7P 865542-97-8P  
 865542-98-9P 865542-99-0P 865543-00-6P  
 865543-03-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(5-(aminomethyl)indole-1-ylmethyl)benzamide derivs. as opioid receptor antagonists for treatment of obesity)

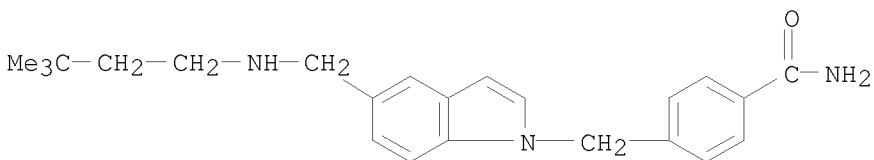
RN 865542-80-9 CAPLUS

CN Benzamide, 4-[[5-[(3-methylbutyl)amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



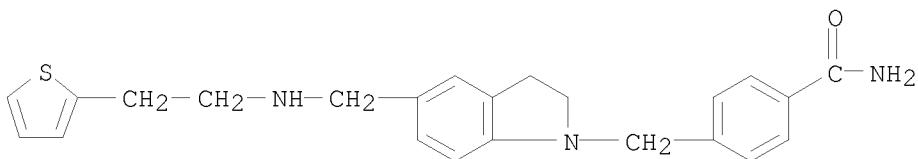
RN 865542-84-3 CAPLUS

CN Benzamide, 4-[[5-[(3,3-dimethylbutyl)amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 865542-85-4 CAPLUS

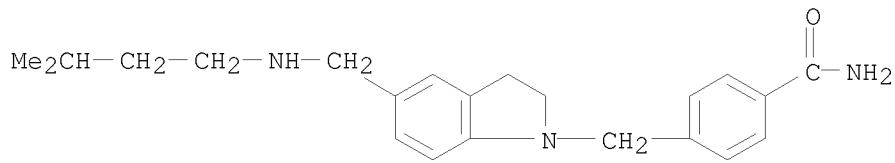
CN Benzamide, 4-[[2,3-dihydro-5-[[2-(2-thienyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 865542-86-5 CAPLUS

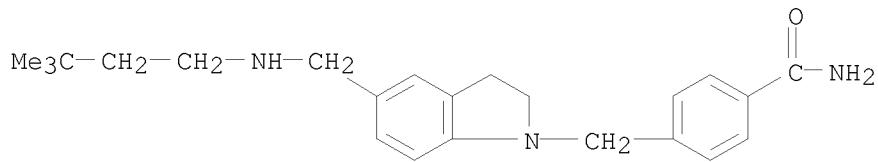
CN Benzamide, 4-[[2,3-dihydro-5-[(3-methylbutyl)amino]methyl]-1H-indol-1-

yl]methyl]- (CA INDEX NAME)



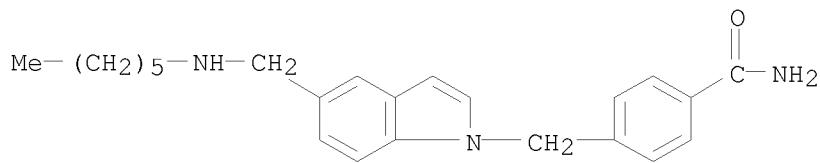
RN 865542-87-6 CAPLUS

CN Benzamide, 4-[5-[(3,3-dimethylbutyl)amino]methyl]-2,3-dihydro-1H-indol-1-yl]methyl]- (CA INDEX NAME)



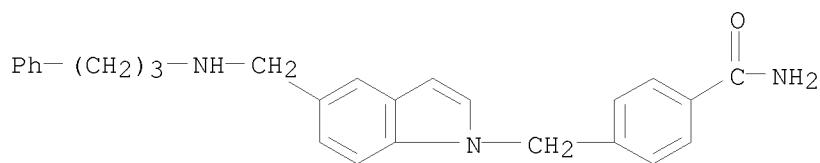
RN 865542-88-7 CAPLUS

CN Benzamide, 4-[5-[(hexylamino)methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



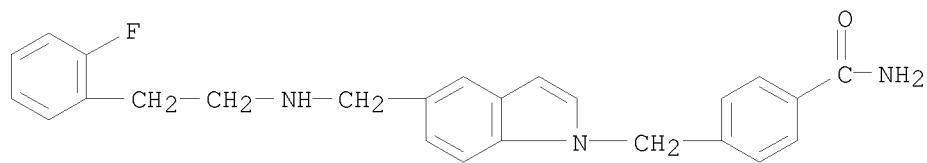
RN 865542-89-8 CAPLUS

CN Benzamide, 4-[5-[(3-phenylpropyl)amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)

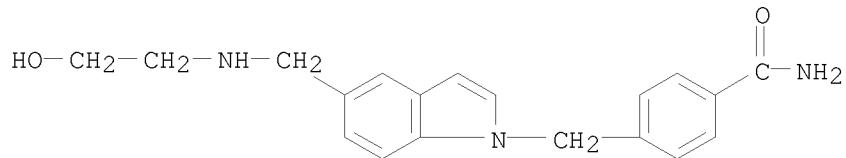


RN 865542-90-1 CAPLUS

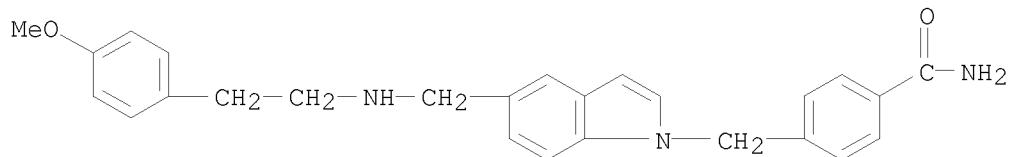
CN Benzamide, 4-[5-[[2-(2-fluorophenyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



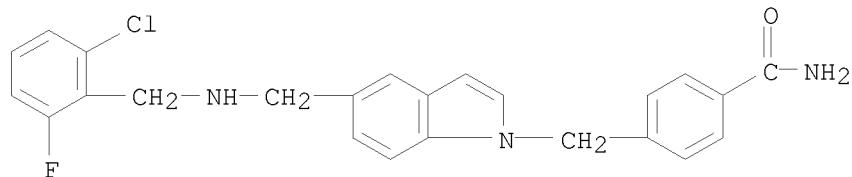
RN 865542-91-2 CAPLUS  
CN Benzamide, 4-[5-[(2-hydroxyethyl)amino]methyl]-1H-indol-1-yl]methyl]-  
(CA INDEX NAME)



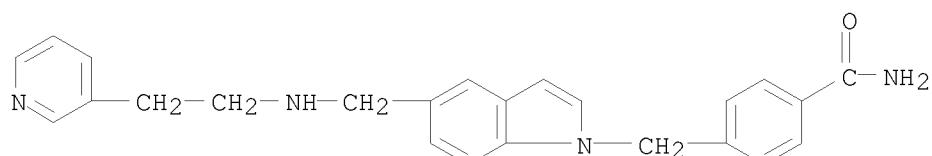
RN 865542-92-3 CAPLUS  
CN Benzamide, 4-[5-[[2-(4-methoxyphenyl)ethyl]amino]methyl]-1H-indol-1-  
yl]methyl]- (CA INDEX NAME)



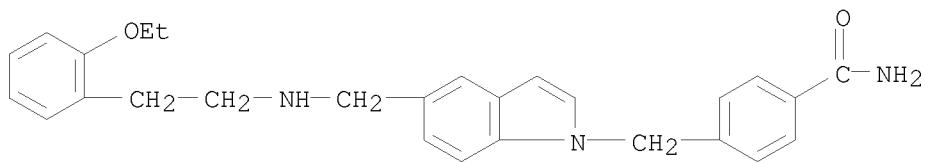
RN 865542-93-4 CAPLUS  
CN Benzamide, 4-[5-[[[2-chloro-6-fluorophenyl)methyl]amino]methyl]-1H-indol-  
1-yl]methyl]- (CA INDEX NAME)



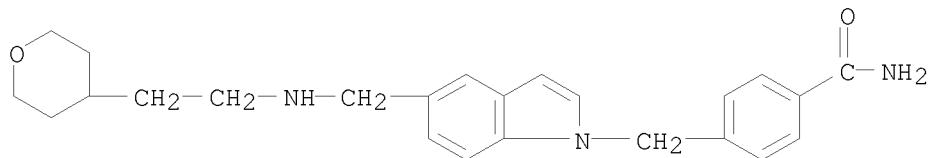
RN 865542-94-5 CAPLUS  
CN Benzamide, 4-[5-[[2-(3-pyridinyl)ethyl]amino]methyl]-1H-indol-1-  
yl]methyl]- (CA INDEX NAME)



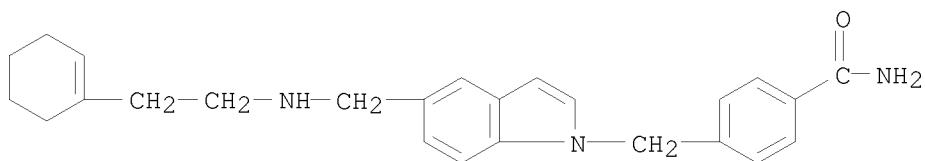
RN 865542-95-6 CAPLUS  
CN Benzamide, 4-[5-[[2-(2-ethoxyphenyl)ethyl]amino]methyl]-1H-indol-1-  
yl]methyl]- (CA INDEX NAME)



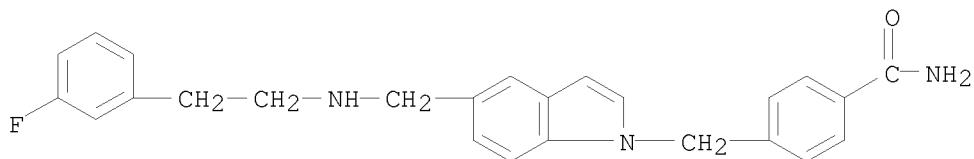
RN 865542-96-7 CAPLUS  
CN Benzamide, 4-[[5-[[[2-(tetrahydro-2H-pyran-4-yl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



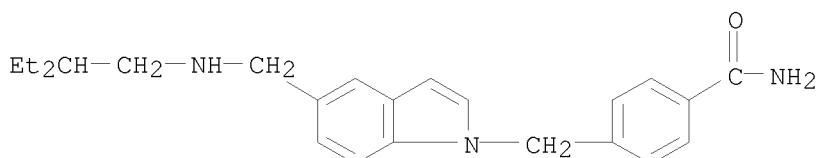
RN 865542-97-8 CAPLUS  
CN Benzamide, 4-[[5-[[[2-(1-cyclohexen-1-yl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



RN 865542-98-9 CAPLUS  
CN Benzamide, 4-[[5-[[[2-(3-fluorophenyl)ethyl]amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)

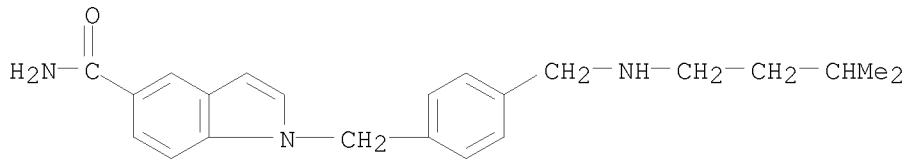


RN 865542-99-0 CAPLUS  
CN Benzamide, 4-[[5-[[[(2-ethylbutyl)amino]methyl]-1H-indol-1-yl]methyl]- (CA INDEX NAME)



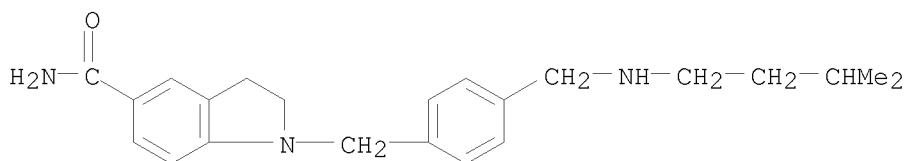
RN 865543-00-6 CAPLUS

CN 1H-Indole-5-carboxamide, 1-[4-[(3-methylbutyl)amino]methyl]phenyl]- (CA INDEX NAME)



RN 865543-03-9 CAPLUS

CN 1H-Indole-5-carboxamide, 2,3-dihydro-1-[4-[(3-methylbutyl)amino]methyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2004:927166 CAPLUS

DOCUMENT NUMBER: 141:395428

TITLE: Biaryl methyl indolines, indoles, and tetrahydroquinolines, useful as serine protease inhibitors, and particularly as anticoagulants, and their preparation, pharmaceutical compositions, and use.

INVENTOR(S): Smallheer, Joanne M.; Quan, Mimi L.; Wang, Shuaige; Bisacchi, Gregory S.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 153 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

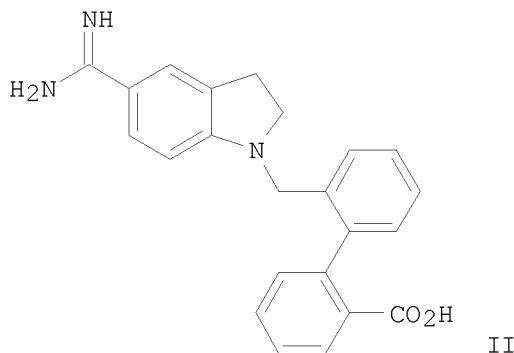
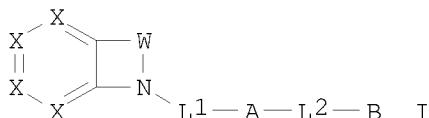
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094372	A2	20041104	WO 2004-US11856	20040415
WO 2004094372	A3	20050602		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20040220206	A1	20041104	US 2004-824025	20040414

US 7129264	B2	20061031		
EP 1633716	A2	20060315	EP 2004-750251	20040415
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006523716	T	20061019	JP 2006-513080	20040415
PRIORITY APPLN. INFO.:				
US 2003-463452P P 20030416				
US 2004-824025 A 20040414				
WO 2004-US11856 W 20040415				

OTHER SOURCE(S): MARPAT 141:395428  
GI



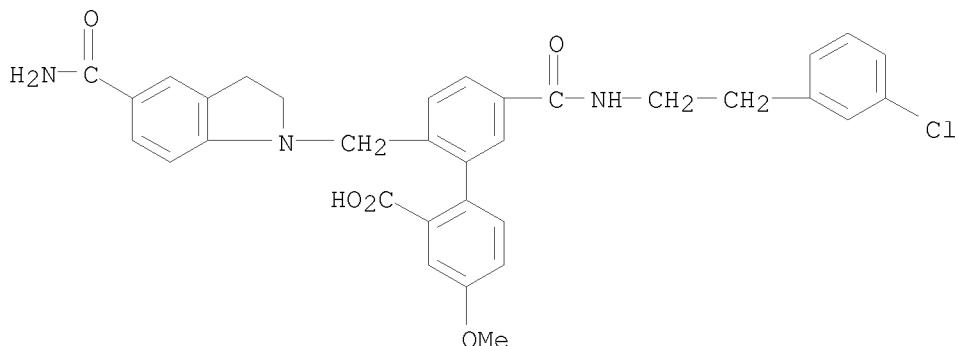
AB The invention provides compds. I or stereoisomers, pharmaceutically acceptable salts or hydrates, or prodrugs thereof [wherein: W = (un)substituted CH<sub>2</sub>CH<sub>2</sub>, CH:CH, CH:N, or CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>; L1 = CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>S(O)0-2, or CH<sub>2</sub>C(O); L2 = bond, (un)substituted CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, O, NH, C(O), S(O)0-2, CH<sub>2</sub>C(O), C(O)CH<sub>2</sub>, CH<sub>2</sub>O, OCH<sub>2</sub>, CH<sub>2</sub>NH, NHCH<sub>2</sub>, CH<sub>2</sub>S(O)0-2, S(O)0-2CH<sub>2</sub>, C(O)O, OC(O), C(O)NH, NHC(O), S(O)NH, S(O)2NH, NHS(O), or NHS(O)<sub>2</sub>; A = (un)substituted C<sub>3</sub>-10 carbocycle or 5- to 12-membered heterocycle with 1-4 N/O/S(O)0-2 heteroatoms; B = (un)substituted alk(en/yn)yl, C<sub>3</sub>-10 carbocycle, or 5- to 12-membered heterocycle with 1-4 N/O/S(O)0-2 heteroatoms; X = (independently) (un)substituted CH or N]. I are useful as selective inhibitors of serine protease enzymes of the coagulation cascade and/or contact activation system; for example thrombin, factor Xa, factor XIa, factor IXa, factor VIIa and/or plasma kallikrein. In particular, the invention relates to compds. that are selective factor XIa inhibitors. This invention also relates to pharmaceutical compns. comprising I, and methods of treating thromboembolic and/or inflammatory disorders using I. I had Ki values of ≤ 15 μM in assays for Factor XIa and plasma kallikrein, thereby confirming their utility as effective inhibitors of these entities. Approx. 115 compds. I and various intermediates were prepared. For instance, 5-cyanoindole was reduced to 5-cyanoindoline with NaBH<sub>3</sub>CN (40%) or with Et<sub>3</sub>SiH (77%). Then, Suzuki coupling of 2-IC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Me with 2-OCHC<sub>6</sub>H<sub>4</sub>B(OH)<sub>2</sub>

gave 83% 2-OCHC<sub>6</sub>H<sub>4</sub>-C<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Me-2, which underwent reductive alkylation with 5-cyanoindoline (86%). The obtained 1-substituted 5-cyanoindoline was converted to the corresponding 5-amidoxime, which was reduced by Zn in AcOH to give the 5-amidine (18.5%). Alkaline saponification of the ester moiety gave

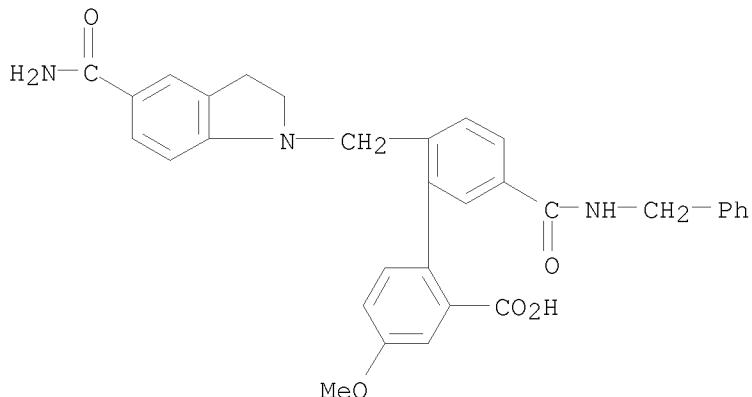
invention compound II, isolated as the bis(trifluoroacetate) salt.

IT 787631-36-1P, 2'-(5-Carbamoyl-2,3-dihydroindol-1-ylmethyl)-5'-[(3-chlorophenethyl)carbamoyl]-4-methoxybiphenyl-2-carboxylic acid  
 787631-37-2P, 5'-(Benzylcarbamoyl)-2'-(5-carbamoyl-2,3-dihydroindol-1-ylmethyl)-4-methoxybiphenyl-2-carboxylic acid  
 787631-38-3P, 2'-[5-(Aminomethyl)-3-benzylindol-1-ylmethyl]-4-methyl-5'-(methylcarbamoyl)biphenyl-2-carboxylic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of biaryl methyl indolines, indoles, and tetrahydroquinolines as serine protease inhibitors and anticoagulants)

RN 787631-36-1 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxylic acid,  
 2'-[ [5-(aminocarbonyl)-2,3-dihydro-1H-indol-1-yl]methyl]-5'-[ [2-(3-chlorophenyl)ethyl]amino]carbonyl-4-methoxy- (CA INDEX NAME)

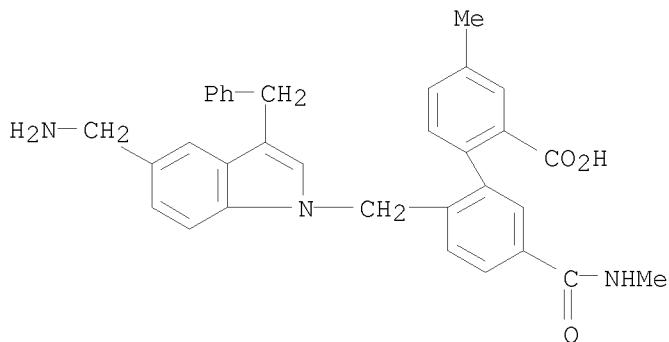


RN 787631-37-2 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxylic acid,  
 2'-[ [5-(aminocarbonyl)-2,3-dihydro-1H-indol-1-yl]methyl]-4-methoxy-5'-[ [(phenylmethyl)amino]carbonyl]- (CA INDEX NAME)



RN 787631-38-3 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxylic acid,  
 2'-[ [5-(aminomethyl)-3-(phenylmethyl)-1H-indol-1-yl]methyl]-4-methyl-5'-

[(methylamino)carbonyl] - (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
(7 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log off

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

STN INTERNATIONAL LOGOFF AT 10:43:36 ON 06 OCT 2011